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**New 4,4-diaryl-butadienes, used as photostable ultraviolet filters or
ultraviolet stabilizers in cosmetic or pharmaceutical compositions**

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Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week	
EP 967200	A1	19991229	EP 99111837	A	19990619	200008	B
DE 19828463	A1	19991230	DE 1028463	A	19980626	200008	
JP 2000044452	A	20000215	JP 99182254	A	19990628	200019	
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BR 9902569	A	20000502	BR 992569	A	19990625	200033	
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ES 2177170	T3	20021201	EP 99111837	A	19990619	200305	
AU 757965	B	20030313	AU 9936801	A	19990625	200328	

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Patent Details:

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DE 19828463	A1			C07C-309/12	
JP 2000044452	A		65	A61K-007/42	
CN 1242984	A			A61K-007/42	
BR 9902569	A			C07C-011/16	
AU 9936801	A			A61K-007/42	
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US 6191301	B1			C07C-255/06	Div ex application US 99336733 Div ex patent US 6093385
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DE 59901286	G			C07C-309/12	Based on patent EP 967200
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AU 757965	B			A61K-007/42	Previous Publ. patent AU 9936801

Abstract (Basic): *EP 967200* A1

NOVELTY - 4,4-Diarylbutadienes (I) are new.

DETAILED DESCRIPTION - Diaryl-butadienes of formula (I) (where the diene system is in Z,Z, E,Z, Z,E or E,E configuration, or a mixture of these) are new:

R1, R2=H; 1-20C alkyl, 2-10C alkenyl, 3-10C cycloalkyl, 3-10C cycloalkenyl, 1-12C alkoxy, 1-20C alkoxy carbonyl, mono- or di-(1-12C alkyl)-amino, aryl or heteroaryl (optionally substituted); or water-solubilizing substituents selected from carboxylate, sulfonate and ammonium groups;

R3=H, COOR5, COR5, CONR5R6 or CN;

R4=COOR6, COR6 or CONR5R6;

R5=H, -(X)O-R7 or -(1-6C) alkylene-Q;

Q=SO3Y, PO3Y or N+(R8)3.A-;

R6=as R5 but not H;

X=(CH2)pZ, CHMeCH2Z or CH2CHEtZ;

p=2-4;

A=Cl, Br, I or SO4R9;

Y=H, Na+, K+, Mg2+, Ca2+, Li+, Al3+ or N(R8)4+;

Z=O or NH;

R7, R8=H, 1-8C alkyl, 2-6C alkenyl or 1-6C acyl;

R9=H, 1-6C alkyl or 2-6C alkenyl;

n=1-3; and

o=1-150.

ACTIVITY - Dermatological; antiinflammatory; antiallergic; cytostatic.

MECHANISM OF ACTION - UV absorber.

USE - The use of (I) is claimed as:

(i) water-soluble, photostable ultraviolet (UV) filters in cosmetic or pharmaceutical compositions for protecting human skin or hair against sunlight (where (I) are optionally used in combination with other UV absorbers);

(ii) water-soluble UV-A filters;

(iii) water-soluble UV stabilizers for cosmetic or pharmaceutical compositions; and

(iv) medicaments.

Cosmetic and pharmaceutical compositions containing (I) are also claimed. (I) protect skin and hair against UV light in the 280-400 nm region, especially UV-A light in the 320-400 nm region. As medicaments (I) are useful for the prophylaxis of inflammation and allergies of the skin and some types of cancer.

ADVANTAGE - (I) absorb with high extinction in the UV-A region, are photostable and have little intrinsic color (i.e. a sharp band structure). They are readily soluble in water, aqueous alcohol and water-miscible solvents, and are thus easily incorporated in the aqueous phase of cosmetic formulations. Emulsions containing (I) have high stability, good photostability and a pleasant feeling on the skin.

pp; 33 DwgNo 0/0.

Title Terms: NEW; PHOTOSTABLE; ULTRAVIOLET; FILTER; ULTRAVIOLET; STABILISED ; COSMETIC; PHARMACEUTICAL; COMPOSITION

Derwent Class: B05; D21

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